Claims

1. A compound of the formula

$$A \xrightarrow{Q} \begin{array}{c} R^1 \\ R^2 \\ R^3 \\ N \\ N \\ N \\ R^5 \end{array}$$
 (I),

in which

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A represents a radical

$$R^6$$
 or N

in which

X represents N or C-H,

Y represents N-R⁷, O or S

in which

 R^7 represents hydrogen, benzyl, phenyl, (C_1-C_6) -alkyl or (C_3-C_8) -cycloalkyl,

where alkyl and cycloalkyl for their part may be substituted by fluorine, hydroxyl, amino, carboxyl, (C₁- C_6)-alkoxy, (C_1 - C_6)-alkylamino or morpholinyl, 5 \mathbf{Z} represents N or C-H, R^6 represents hydrogen, halogen, trifluoromethyl, (C₁-C₆)alkylamino or W-R⁷, 10 in which W represents NH, O or a bond, R^7 15 is as defined above and denotes the point of attachment to the phenolic oxygen, 20 R¹ and R² independently of one another represent hydrogen, halogen or cyano, R³ and R⁴ independently of one another represent hydrogen, fluorine or chlorine, 25 R^5 represents a radical selected from the group consisting of: hydrogen, hydroxyl, halogen, trifluoromethyl, 30 (C_3-C_8) -cycloalkyl, (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy,

where cycloalkyl, alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C_1-C_6) -alkoxy, (C_1-C_6) -alkoxycarbonyl, (C_6-C_{10}) -aryl, NR^8R^9 or $C(=O)NR^8R^9$,

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in which

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 R^8 and R^9 independently of one another represent hydrogen, $(C_1\text{-}C_8)$ -alkyl, optionally $(C_1\text{-}C_6)$ -alkyl-substituted $(C_3\text{-}C_6)$ -cycloalkyl, optionally halogen-substituted $(C_6\text{-}C_{10})$ -aryl or 5- to 10-membered heteroaryl

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or

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 R^8 and R^9 together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

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 (C_6-C_{10}) -aryl, (C_6-C_{10}) -aryloxy, 5- to 10-membered heteroaryl, 5- to 10-membered heteroaryloxy, 5- to 10-membered heterocyclyl which is attached via a carbon atom,

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where aryl, aryloxy, heteroaryl, heteroaryloxy and heterocyclyl for their part may be substituted by halogen, cyano, nitro, carboxyl, amino, trifluoromethyl, optionally hydroxyl-substituted (C_1-C_6) -alkyl, (C_1-C_6) -alkoxy, (C_1-C_6) -alkylamino,

 $(C_1\text{-}C_6)$ -alkanoyl, $(C_1\text{-}C_6)$ -alkoxycarbonyl, $(C_1\text{-}C_6)$ -alkanoylamino, $(C_1\text{-}C_6)$ -alkoxycarbonylamino or 5- or 6-membered heterocyclyl,

 $5 NR^{10}R^{11}$

in which

 R^{10} and R^{11} independently of one another represent hydrogen, $(C_1\text{-}C_6)\text{-alkyl}$, $(C_3\text{-}C_8)\text{-cycloalkyl}$, $(C_6\text{-}C_{10})\text{-aryl}$ or 5- to 10-membered heteroaryl,

where alkyl and cycloalkyl for their part may be substituted by hydroxyl, (C_1-C_6) -alkoxy, (C_6-C_{10}) -aryl, 5- to 10-membered heteroaryl or NR¹⁵R¹⁶,

in which

 R^{15} and R^{16} independently of one another represent hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₆-C₁₀)-aryl or 5- or 6-membered heteroaryl

or

 R^{15} and R^{16} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

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and

aryl and heteroaryl for their part may be substituted by halogen, hydroxyl, amino, cyano, trifluoromethyl, (C_1 - C_6)-alkyl, (C_1 - C_6)-alkoxy, (C_1 - C_6)-alkylamino or (C_1 - C_6)-alkanoylamino,

or

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R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 4- to 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by fluorine, hydroxyl, carboxyl, 5- to 7-membered heterocyclyl which may contain one or two further heteroatoms N and/or O in the ring and which for its part may be substituted by (C₁-C₄)-alkyl or (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy- or NR¹⁷R¹⁸-substituted (C₁-C₄)-alkyl, (C₁-C₄)-alkanoyl, (C₁-C₄)-alkoxycarbonyl or NR¹²R¹³,

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where

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 R^{12} and R^{13} independently of one another represent hydrogen, (C₁-C₆)-alkyl, (C₁-C₄)-alkoxycarbonyl, (C₃-C₈)-cycloalkyl or (C₁-C₄)-alkanoyl

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 R^{12} and R^{13} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

and

 R^{17} and R^{18} independently of one another represent hydrogen, optionally hydroxyl-substituted (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₆-C₁₀)-aryl or 5-or 6-membered heteroaryl

or

 R^{17} and R^{18} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

or

R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 7- to 12-membered bicyclic or tricyclic heterocycle which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring and which may

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be substituted by fluorine, (C_1-C_4) -alkyl, (C_1-C_4) -alkoxycarbonyl, (C_1-C_4) -alkanoyl or benzyl,

and $C(=O)R^{14}$,

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in which

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R¹⁴ represents (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or a 5to 10-membered mono- or bicyclic heterocycle which is attached via a nitrogen atom, which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring,

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where alkylamino for its part may be substituted by a 5or 6-membered heterocycle,

or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

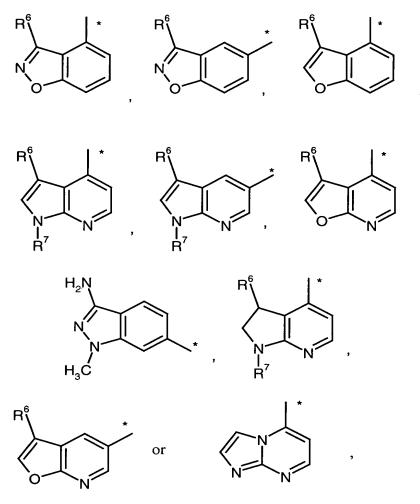
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2.

in which

A represents a radical

The compound as claimed in claim 1



in which

and

 R^6 represents hydrogen, (C₁-C₄)-alkyl or NH-R⁷,

 R^7 represents hydrogen or (C_1-C_4) -alkyl

* denotes the point of attachment to the phenolic oxygen,

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R¹ and R² independently of one another represent hydrogen, fluorine or chlorine,

R³ and R⁴ independently of one another represent hydrogen or fluorine,

R⁵ represents a radical selected from the group consisting of:

hydrogen, chlorine, (C₃-C₈)-cycloalkyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy,

where alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C_1-C_4) -alkoxy, (C_1-C_4) -alkoxycarbonyl, (C_1-C_4) -a

in which

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 R^8 and R^9 independently of one another represent hydrogen, $(C_1\text{-}C_8)$ -alkyl, optionally $(C_1\text{-}C_4)$ -alkyl-substituted $(C_3\text{-}C_6)$ -cycloalkyl, optionally halogen-substituted phenyl or 5- or 6-membered heteroaryl

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or

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 R^8 and R^9 together with the nitrogen atom to which they are attached form a morpholine, piperazine, piperidine or pyrrolidine ring, where the rings for their part may be substituted by (C_1-C_4) -alkyl,

 (C_6-C_{10}) -aryl, 5- or 6-membered heteroaryl, 5- or 6-membered heterocyclyl which is attached via a carbon atom,

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where aryl, heteroaryl and heterocyclyl for their part may be substituted by halogen, cyano, nitro, carboxyl, amino, trifluoromethyl, optionally hydroxyl-substituted (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, (C_1 - C_4)-alkylamino, (C_1 - C_4)-alkanoyl, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_4)-alkanoylamino, (C_1 - C_4)-alkoxycarbonylamino or 6-membered heterocyclyl,

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 $NR^{10}R^{11}$

in which

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 R^{10} and R^{11} independently of one another represent hydrogen, $(C_1\text{-}C_6)\text{-alkyl}, \ (C_3\text{-}C_8)\text{-cycloalkyl}, \ phenyl \ or \ 5\text{- or } 6\text{-}$ membered heteroaryl,

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where alkyl and cycloalkyl for their part may be substituted by hydroxyl, (C_1-C_4) -alkoxy, phenyl, 5- or 6-membered heteroaryl or $NR^{15}R^{16}$,

in which

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R¹⁵ and R¹⁶ independently of one another represent hydrogen, (C₁-C₄)-alkyl, (C₃-C₆)-cycloalkyl, phenyl or 5- or 6-membered heteroaryl

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R¹⁵ and R¹⁶ together with the nitrogen atom to which they are attached form a morpholine, piperazine, piperidine or pyrrolidine ring, where the rings for their part may be substituted by (C₁-C₄)-alkyl,

and

phenyl and heteroaryl for their part may be substituted by fluorine, chlorine, hydroxyl, amino, cyano, trifluoromethyl, (C_1-C_4) -alkyl, (C_1-C_4) -alkoxy, (C_1-C_4) -alkylamino or (C_1-C_4) -alkanoylamino,

or

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R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 4- to 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by fluorine, hydroxyl, carboxyl, 5- to 7-membered heterocyclyl which may contain one or two further heteroatoms N and/or O in the ring and which for its part may be substituted by (C₁-C₄)-alkyl or (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy, optionally hydroxyl-, (C₁-C₄)-alkoxy- or NR¹⁷R¹⁸-substituted (C₁-C₄)-alkyl, (C₁-C₄)-alkanoyl, (C₁-C₄)-alkoxycarbonyl or NR¹²R¹³,

where

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 R^{12} and R^{13} independently of one another represent hydrogen or (C₁-C₄)-alkyl

or

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 R^{12} and R^{13} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

and

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 R^{17} and R^{18} independently of one another represent hydrogen, optionally hydroxyl-substituted (C₁- C₄)-alkyl or phenyl

or

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 R^{17} and R^{18} together with the nitrogen atom to which they are attached form a pyrrolidine ring,

or

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R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 7- to 12-membered bicyclic or tricyclic heterocycle which is fused or spirocyclic, which may have one or two further heteroatoms from the group consisting of N and O in the ring and which may be

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substituted by (C_1-C_4) -alkyl, (C_1-C_4) -alkoxycarbonyl, (C_1-C_4) -alkanoyl or benzyl,

and $C(=O)R^{14}$

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in which

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R¹⁴ represents (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or a 5-to 10-membered mono- or bicyclic heterocycle which is attached via a nitrogen atom, which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring,

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where alkylamino for its part may be substituted by a 5or 6-membered heterocyclyl,

or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

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3. The compound as claimed in claim 1 or 2

in which

A represents a radical

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in which

R⁶ represents hydrogen or methyl

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* denotes the point of attachment to the phenolic oxygen,

R¹ and R² independently of one another represent hydrogen, fluorine or chlorine,

R³ and R⁴ represent hydrogen,

R⁵ represents a radical selected from the group consisting of:

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 $hydrogen, chlorine, cyclohexyl, (C_1\text{-}C_4)\text{-}alkyl, (C_1\text{-}C_4)\text{-}alkoxy, \\$

where alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C_1-C_4) -alkoxy, methyloxycarbonyl, ethyloxycarbonyl, NR^8R^9 or $C(=O)NR^8R^9$,

in which

 R^8 and R^9 independently of one another represent hydrogen, (C₁-C₈)-alkyl, cyclopropyl, optionally methyl-substituted cyclopentyl or optionally fluorine-substituted phenyl

or

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R⁸ and R⁹ together with the nitrogen atom to which they are attached form a piperidine, 2-methylpiperidine or 2,6-dimethylpiperidine ring,

5 phenyl, pyridyl, pyrrolyl, piperidin-3-yl, piperidin-4-yl, pyrrolidin-2-yl,

where phenyl, pyridyl and pyrrolyl for their part may be substituted by fluorine, chlorine, bromine, cyano, nitro, trifluoromethyl, methyl, hydroxymethyl, methoxy, dimethylamino or morpholinyl,

and

piperidin-3-yl, piperidin-4-yl and pyrrolidin-2-yl for their part may be substituted by methyl, ethyl, n-propyl, isopropyl, methylcarbonyl or ethylcarbonyl,

 $NR^{10}R^{11}$

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in which

 R^{10} and R^{11} independently of one another represent hydrogen, (C₁-C₄)-alkyl, 3-hydroxypropyl, 2-hydroxycyclohexyl, 2-aminocyclohexyl, phenyl, pyridyl or pyrazolyl,

where phenyl and pyridyl for their part may be substituted by chlorine, hydroxyl, amino, cyano, methyl or methoxy, or

R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a piperazine, 3-methylpiperazine, 3,5-dimethylpiperazine, 4-isobutylpiperazine, morpholine, pyrrolidine, 3-aminopyrrolidine, 3-methylaminopyrrolidine, 3-(N,N-dimethylamino)pyrrolidine, 2-aminomethylpyrrolidine, 3-hydroxypyrrolidine, 2-hydroxymethylpyrrolidine or 2-methoxymethylpyrrolidine ring or a radical

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in which

* denotes the point of attachment to the pyrimidine ring,

and $C(=O)R^{14}$

in which

R¹⁴ represents methoxy, piperidinyl-N-ethylamino, piperidinyl or piperazinyl,

- or a salt, a hydrate, a hydrate of a salt or a solvate thereof.
 - 4. A process for preparing compounds as defined in claim 1, characterized in that either
- 20 [A] compounds of the formula (II)

$$A \xrightarrow{Q} \begin{array}{c} R^1 \\ R^2 \\ R^3 \\ N \\ N \\ N \end{array} \qquad (II),$$

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in which

 A, R^1, R^2, R^3 and R^4 are as defined in claim 1

are reacted with compounds of the formula (III)

$$R^{5}$$
 X^{1} (III),

in which

R⁵ is as defined in claim 1 and

 X^1 represents hydrogen, $B(OH)_2$ or a boronic acid ester such as

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[B] compounds of the formula (IV)

$$H_2N$$
 N
 R^5
 $(IV),$

in which

or

R⁵ is as defined in claim 1

are reacted with compounds of the formula (V)

$$A \xrightarrow{Q} \begin{array}{c} R^1 \\ R^2 \\ R^3 \end{array} \qquad (V),$$

5 in which

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A, R^1 , R^2 , R^3 and R^4 are as defined in claim 1.

- 5. The compound as defined in any of claims 1 to 3 for the treatment and/or prophylaxis of disorders.
 - 6. The use of a compound as defined in any of claims 1 to 3 for preparing medicaments for the treatment and/or prophylaxis of cardiovascular disorders.
- The use of a compound as defined in any of claims 1 to 3 for preparing medicaments for the treatment and/or prophylaxis of erectile dysfunction.
 - 8. A method for the treatment and/or prophylaxis of cardiovascular disorders wherein a cardiovascularly effective amount of a compound as defined in any of claims 1 to 3 is used.
 - 9. A medicament, comprising a compound as defined in any of claims 1 to 3 and a further active compound.
- 25 10. A medicament comprising a compound as defined in any of claims 1 to 3 in combination with an inert nontoxic pharmaceutically acceptable auxiliary.